

Application No.: 10/518324  
 Docket No.: BA9308USPCT

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Amendments to Claims

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1. (Canceled)  
 2. (Canceled)

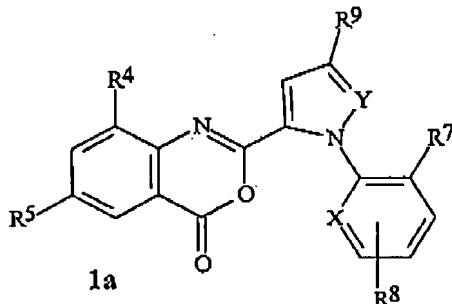
3. (Currently amended) The method of Claim 2 12 wherein the nominal mole ratio of sulfonyl chloride to carboxylic acid in (1) is from about 1.0 to 1.5; the nominal mole ratio of the *ortho*-amino aromatic carboxylic acid in (2) to carboxylic acid charged in (1) is from about 0.9 to 1.1; the nominal mole ratio of additional sulfonyl chloride added in (3) to carboxylic acid charged in (1) is from about 1.0 to 1.5.

4. (Currently amended) The method of Claim 3 wherein the nominal mole ratio of the ~~optionally substituted~~ pyridine compound charged in (1) to carboxylic acid charged in (1) is from about 1.0 to 2.0; additional ~~optionally substituted~~ pyridine compound is charged in (2); and the nominal mole ratio of the additional ~~optionally substituted~~ pyridine compound charged in (2) to carboxylic acid charged in (1) is from about 2.0 to 4.0.

5. (Canceled)  
 6. (Canceled)

7. (Currently amended) The method of Claim 6-12 wherein K is, together with the two contiguous linking carbon atoms, a fused phenyl ring optionally substituted with from one to four substituents independently selected from W or R<sup>13</sup>.

8. (Currently amended) The method of Claim 2 12 wherein a compound of Formula 1a



wherein

X is N or CR<sup>6</sup>;

Y is N or CH;

R<sup>4</sup> is C<sub>1</sub>-C<sub>4</sub> alkyl or halogen;

R<sup>5</sup> is H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl or halogen;

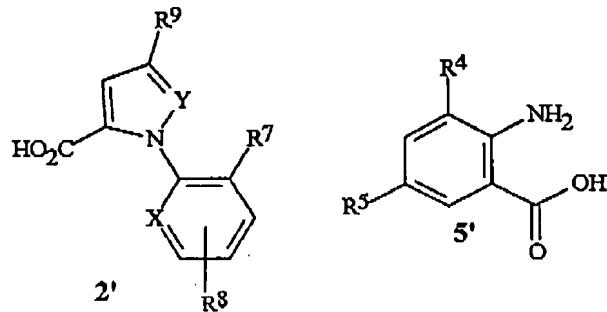
R<sup>6</sup> and R<sup>7</sup> are independently H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, halogen, CN or C<sub>1</sub>-C<sub>4</sub> haloalkoxy;

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R<sup>8</sup> is H, C<sub>1</sub>–C<sub>4</sub> alkyl, C<sub>2</sub>–C<sub>4</sub> alkenyl, C<sub>2</sub>–C<sub>4</sub> alkynyl, C<sub>3</sub>–C<sub>6</sub> cycloalkyl, C<sub>1</sub>–C<sub>4</sub> haloalkyl, C<sub>2</sub>–C<sub>4</sub> haloalkenyl, C<sub>2</sub>–C<sub>4</sub> haloalkynyl, C<sub>3</sub>–C<sub>6</sub> halocycloalkyl, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>–C<sub>4</sub> alkoxy, C<sub>1</sub>–C<sub>4</sub> haloalkoxy, C<sub>1</sub>–C<sub>4</sub> alkylthio, C<sub>1</sub>–C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>–C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>–C<sub>4</sub> alkylamino, C<sub>2</sub>–C<sub>8</sub> dialkylamino, C<sub>3</sub>–C<sub>6</sub> cycloalkylamino, (C<sub>1</sub>–C<sub>4</sub> alkyl)(C<sub>3</sub>–C<sub>6</sub> cycloalkyl)amino, C<sub>2</sub>–C<sub>4</sub> alkylcarbonyl, C<sub>2</sub>–C<sub>6</sub> alkoxy carbonyl, C<sub>2</sub>–C<sub>6</sub> alkylaminocarbonyl, C<sub>3</sub>–C<sub>8</sub> dialkylaminocarbonyl or C<sub>3</sub>–C<sub>6</sub> trialkylsilyl;  
 R<sup>9</sup> is CF<sub>3</sub>, OCF<sub>3</sub>, OCHF<sub>2</sub>, OCH<sub>2</sub>CF<sub>3</sub>, S(O)<sub>p</sub>CF<sub>3</sub>, S(O)<sub>p</sub>CHF<sub>2</sub> or halogen; and  
 p is 0, 1 or 2;

is prepared using a compound of Formula 2' as the Formula 2 compound and a compound of Formula 5' as the Formula 5 compound



9. (Original) The method of Claim 8 wherein

X is N;

Y is N;

R<sup>4</sup> is CH<sub>3</sub>, F, Cl or Br;

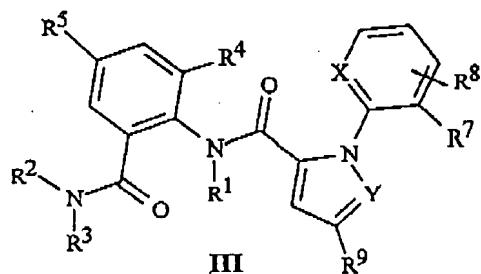
R<sup>5</sup> is CF<sub>3</sub>, F, Cl, Br or I;

R<sup>7</sup> is Cl or Br;

R<sup>8</sup> is H; and

R<sup>9</sup> is CF<sub>3</sub>, OCHF<sub>2</sub>, OCH<sub>2</sub>CF<sub>3</sub>, Cl or Br.

10. (Currently amended) A method for preparing a compound of Formula III



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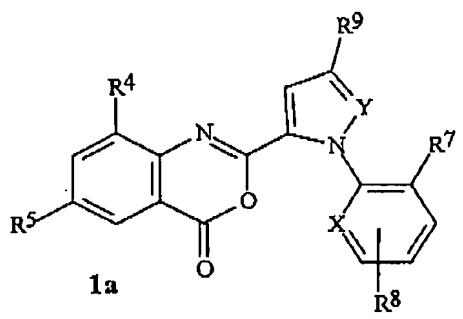
wherein

X is N or CR<sup>6</sup>;

Y is N or CH;

R<sup>1</sup> is H;R<sup>2</sup> is H or CH<sub>3</sub>;R<sup>3</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl;R<sup>4</sup> is C<sub>1</sub>-C<sub>4</sub> alkyl or halogen;R<sup>5</sup> is H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl or halogen;R<sup>6</sup> and R<sup>7</sup> are independently H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, halogen, CN or C<sub>1</sub>-C<sub>4</sub> haloalkoxy;R<sup>8</sup> is H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, C<sub>2</sub>-C<sub>4</sub> haloalkenyl, C<sub>2</sub>-C<sub>4</sub> haloalkynyl, C<sub>3</sub>-C<sub>6</sub> halocycloalkyl, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> alkylamino, C<sub>2</sub>-C<sub>8</sub> dialkylamino, C<sub>3</sub>-C<sub>6</sub> cycloalkylamino, (C<sub>1</sub>-C<sub>4</sub> alkyl)(C<sub>3</sub>-C<sub>6</sub> cycloalkyl)amino, C<sub>2</sub>-C<sub>4</sub> alkylcarbonyl, C<sub>2</sub>-C<sub>6</sub> alkoxy carbonyl, C<sub>2</sub>-C<sub>6</sub> alkylaminocarbonyl, C<sub>3</sub>-C<sub>8</sub> dialkylaminocarbonyl or C<sub>3</sub>-C<sub>6</sub> trialkylsilyl; andR<sup>9</sup> is CF<sub>3</sub>, OCF<sub>3</sub>, OCHF<sub>2</sub>, OCH<sub>2</sub>CF<sub>3</sub>, S(O)<sub>p</sub>CF<sub>3</sub>, S(O)<sub>p</sub>CHF<sub>2</sub> or halogen;

p is 0, 1 or 2;

which uses using a compound of Formula 1a.in the preparation of said Formula III compound, characterized by:

preparing said compound of Formula 1a by the method of Claim 8-12.

11. (Original) The method of Claim 10 wherein

X is N;

Y is N;

R<sup>2</sup> is H or CH<sub>3</sub>;R<sup>3</sup> is C<sub>1</sub>-C<sub>4</sub> alkyl;R<sup>4</sup> is CH<sub>3</sub>, F, Cl or Br;

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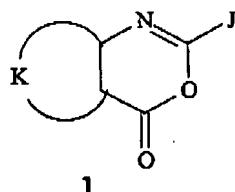
R<sup>5</sup> is CF<sub>3</sub>, F, Cl, Br or I;

R<sup>7</sup> is Cl or Br;

R<sup>8</sup> is H; and

R<sup>9</sup> is CF<sub>3</sub>, OCHF<sub>2</sub>, OCH<sub>2</sub>CF<sub>3</sub>, Cl or Br.

12. (New) A method for preparing a fused oxazinone of Formula 1,



wherein

K is, together with the two contiguous linking carbon atoms, a fused phenyl ring optionally substituted with from one to four substituents independently selected from G, U, W or R<sup>13</sup>;

J is C<sub>1</sub>–C<sub>6</sub> alkyl, C<sub>2</sub>–C<sub>6</sub> alkenyl, C<sub>2</sub>–C<sub>6</sub> alkynyl, C<sub>3</sub>–C<sub>8</sub> cycloalkyl or C<sub>3</sub>–C<sub>8</sub> cycloalkenyl, each optionally substituted with one or more substituents selected from the group consisting of R<sup>12</sup>, halogen, CN, NO<sub>2</sub>, hydroxy, C<sub>1</sub>–C<sub>4</sub> alkoxy, C<sub>1</sub>–C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>–C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>–C<sub>4</sub> alkylamino, C<sub>2</sub>–C<sub>8</sub> dialkylamino, C<sub>3</sub>–C<sub>6</sub> cycloalkylamino, and (C<sub>1</sub>–C<sub>4</sub> alkyl)(C<sub>3</sub>–C<sub>6</sub> cycloalkyl)amino; or

J is a phenyl ring, a benzyl group, a benzoyl group, a 5- or 6-membered heteroaromatic ring, an aromatic 8-, 9- or 10-membered fused carbobicyclic ring system, an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system or a 5- or 6-membered nonaromatic heterocyclic ring optionally including one or two ring members selected from the group consisting of C(=O), SO or S(O)<sub>2</sub>, each optionally substituted with from one to four substituents independently selected from G, U, W or R<sup>13</sup>;

each G is a 5- or 6-membered nonaromatic heterocyclic ring optionally including one or two ring members selected from the group consisting of C(=O), SO or S(O)<sub>2</sub>, each optionally substituted with from one to four substituents independently selected from W;

each U is a phenyl ring, a benzyl group, a benzoyl group, a 5- or 6-membered heteroaromatic ring, an aromatic 8-, 9- or 10-membered fused carbobicyclic ring system, an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system, each optionally substituted with from one to four substituents independently selected from W;

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each W is independently  $C_1-C_4$  alkyl,  $C_2-C_4$  alkenyl,  $C_2-C_4$  alkynyl,  $C_3-C_6$  cycloalkyl,  $C_1-C_4$  haloalkyl,  $C_2-C_4$  haloalkenyl,  $C_2-C_4$  haloalkynyl,  $C_3-C_6$  halocycloalkyl, halogen, CN,  $NO_2$ ,  $C_1-C_4$  alkoxy,  $C_1-C_4$  haloalkoxy,  $C_1-C_4$  alkylthio,  $C_1-C_4$  alkylsulfinyl,  $C_1-C_4$  alkylsulfonyl,  $C_1-C_4$  alkylamino,  $C_2-C_8$  dialkylamino,  $C_3-C_6$  cycloalkylamino,  $(C_1-C_4$  alkyl) $(C_3-C_6$  cycloalkyl)amino or  $C_3-C_6$  trialkylsilyl;

each  $R^{12}$  is independently  $R^{19}C(=E)-$  or  $-O(Q=)P(OR^{19})_2$ ;

each  $R^{13}$  is  $B(OR^{17})_2$ ;  $NH_2$ ;  $SH$ ; thiocyanato;  $C_3-C_8$  trialkylsilyloxy;  $C_1-C_4$  alkyldisulfide;  $SF_5$ ;  $R^{19}C(=E)-$ ;  $R^{19}C(=E)M-$ ;  $R^{19}MC(=E)-$ ;  $(R^{19})MC(=E)M-$ ;  $-OP(=Q)(OR^{19})_2$ ;  $-S(O)_2MR^{19}$ ; or  $R^{19}S(O)_2M-$ ;

each E is independently O, S,  $NR^{15}$ ,  $NOR^{15}$ ,  $NN(R^{15})_2$ ,  $N-S=O$ ,  $N-CN$  or  $N-NO_2$ ;

each M is independently O,  $NR^{18}$  or S;

Q is O or S;

each  $R^{15}$  and each  $R^{19}$  is independently H;  $C_1-C_6$  alkyl optionally substituted with one or more substituents selected from the group consisting of CN,  $NO_2$ , hydroxy,  $C_1-C_4$  alkoxy,  $C_1-C_4$  haloalkoxy,  $C_1-C_4$  alkylthio,  $C_1-C_4$  alkylsulfinyl,  $C_1-C_4$  alkylsulfonyl,  $C_1-C_4$  haloalkylthio,  $C_1-C_4$  haloalkylsulfinyl,  $C_1-C_4$  haloalkylsulfonyl,  $C_1-C_4$  alkylamino,  $C_2-C_8$  dialkylamino,  $CO_2H$ ,  $C_2-C_6$  alkoxy carbonyl,  $C_2-C_6$  alkyl carbonyl,  $C_3-C_6$  trialkylsilyl, and a phenyl ring optionally substituted with one to three substituents independently selected from W;  $C_1-C_6$  haloalkyl;  $C_3-C_6$  cycloalkyl; or a phenyl ring optionally substituted with from one to three substituents independently selected from W;

each  $R^{17}$  is independently H or  $C_1-C_4$  alkyl; or  $B(OR^{17})_2$  can form a ring wherein the two oxygen atoms are linked by a chain of two to three carbons optionally substituted with one or two substituents independently selected from methyl or  $C_2-C_6$  alkoxy carbonyl; and

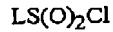
each  $R^{18}$  is independently H,  $C_1-C_6$  alkyl or  $C_1-C_6$  haloalkyl.

comprising:

(1) contacting a carboxylic acid of Formula 2



with a sulfonyl chloride of Formula 4



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wherein

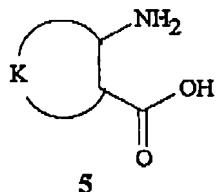
L is selected from alkyl, haloalkyl, and phenyl optionally substituted with from one to three substituents independently selected from alkyl or halogen;

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in the presence of a pyridine compound, the nominal mole ratio of sulfonyl chloride to carboxylic acid being from about 0.75 to 1.5;

(2) contacting the mixture prepared in (1) with an *ortho*-amino aromatic carboxylic acid of Formula 5



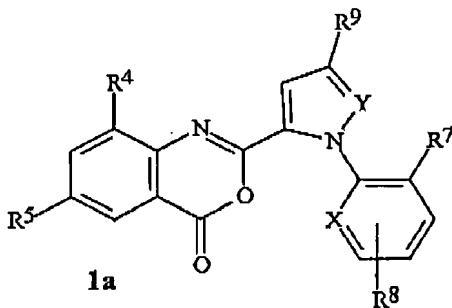
in the presence of a pyridine compound, the nominal mole ratio of the *ortho*-amino aromatic carboxylic acid to carboxylic acid charged in (1) being from about 0.8 to 1.2; and

(3) adding additional sulfonyl chloride to the mixture prepared in (2), the nominal mole ratio of additional sulfonyl chloride added in (3) to carboxylic acid charged in (1) being at least about 0.5.

13. (New) The method of Claim 12 wherein the pyridine compound is selected from the group consisting of pyridine, quinoline, isoquinoline and pyridine substituted with alkyl, dimethylamino, or pyrrolidino.

14. (New) The method of Claim 12 wherein sulfonyl chloride of Formula 4 is selected from the group consisting of methanesulfonyl chloride, propanesulfonyl chloride and benzene sulfonyl chloride.

15. (New) A method for preparing a fused oxazinone of Formula 1a



wherein

X is N or CR<sup>6</sup>;

Y is N or CH;

R<sup>4</sup> is C<sub>1</sub>-C<sub>4</sub> alkyl or halogen;

R<sup>5</sup> is H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl or halogen;

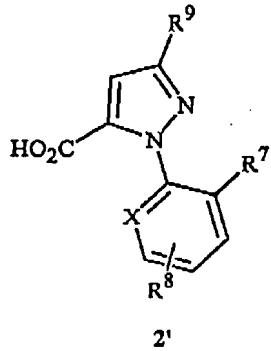
R<sup>6</sup> and R<sup>7</sup> are independently H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, halogen, CN or C<sub>1</sub>-C<sub>4</sub> haloalkoxy;

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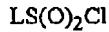
R<sup>8</sup> is H, C<sub>1</sub>–C<sub>4</sub> alkyl, C<sub>2</sub>–C<sub>4</sub> alkenyl, C<sub>2</sub>–C<sub>4</sub> alkynyl, C<sub>3</sub>–C<sub>6</sub> cycloalkyl, C<sub>1</sub>–C<sub>4</sub> haloalkyl, C<sub>2</sub>–C<sub>4</sub> haloalkenyl, C<sub>2</sub>–C<sub>4</sub> haloalkynyl, C<sub>3</sub>–C<sub>6</sub> halocycloalkyl, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>–C<sub>4</sub> alkoxy, C<sub>1</sub>–C<sub>4</sub> haloalkoxy, C<sub>1</sub>–C<sub>4</sub> alkylthio, C<sub>1</sub>–C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>–C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>–C<sub>4</sub> alkylamino, C<sub>2</sub>–C<sub>8</sub> dialkylamino, C<sub>3</sub>–C<sub>6</sub> cycloalkylamino, (C<sub>1</sub>–C<sub>4</sub> alkyl)(C<sub>3</sub>–C<sub>6</sub> cycloalkyl)amino, C<sub>2</sub>–C<sub>4</sub> alkylcarbonyl, C<sub>2</sub>–C<sub>6</sub> alkoxy carbonyl, C<sub>2</sub>–C<sub>6</sub> alkylaminocarbonyl, C<sub>3</sub>–C<sub>8</sub> dialkylaminocarbonyl or C<sub>3</sub>–C<sub>6</sub> trialkylsilyl; R<sup>9</sup> is CF<sub>3</sub>, OCF<sub>3</sub>, OCHF<sub>2</sub>, OCH<sub>2</sub>CF<sub>3</sub>, S(O)<sub>p</sub>CF<sub>3</sub>, S(O)<sub>p</sub>CHF<sub>2</sub> or halogen; and p is 0, 1 or 2; comprising:

(1) contacting a carboxylic acid of Formula 2'



2'

with a sulfonyl chloride of Formula 4

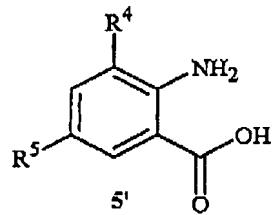


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wherein

L is selected from alkyl, haloalkyl, and phenyl optionally substituted with from one to three substituents independently selected from alkyl or halogen; in the presence of a pyridine compound, the nominal mole ratio of sulfonyl chloride to carboxylic acid being from about 0.75 to 1.5;

(2) contacting the mixture prepared in (1) with an *ortho*-amino aromatic carboxylic acid of Formula 5'



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in the presence of a pyridine compound, the nominal mole ratio of the *ortho*-amino aromatic carboxylic acid to carboxylic acid charged in (1) being from about 0.8 to 1.2; and

(3) adding additional sulfonyl chloride to the mixture prepared in (2), the nominal mole ratio of additional sulfonyl chloride added in (3) to carboxylic acid charged in (1) being at least about 0.5.

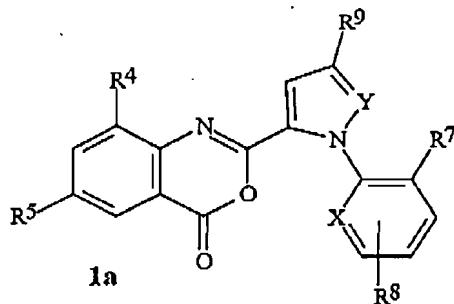
16. (New) The method of Claim 15 wherein the pyridine compound is selected from the group consisting of pyridine, quinoline, isoquinoline and pyridine substituted with alkyl, dimethylamino, or pyrrolidino.

17. (New) The method of Claim 15 wherein sulfonyl chloride of Formula 4 is selected from the group consisting of methanesulfonyl chloride, propanesulfonyl chloride and benzene sulfonyl chloride.

18. (New) The method of Claim 15 wherein the nominal mole ratio of sulfonyl chloride to carboxylic acid in (1) is from about 1.0 to 1.5; the nominal mole ratio of the *ortho*-amino aromatic carboxylic acid in (2) to carboxylic acid charged in (1) is from about 0.9 to 1.1; the nominal mole ratio of additional sulfonyl chloride added in (3) to carboxylic acid charged in (1) is from about 1.0 to 1.5.

19. (New) The method of Claim 18 wherein the nominal mole ratio of the pyridine compound charged in (1) to carboxylic acid charged in (1) is from about 1.0 to 2.0; additional pyridine compound is charged in (2); and the nominal mole ratio of the additional pyridine compound charged in (2) to carboxylic acid charged in (1) is from about 2.0 to 4.0.

20. (New) A method of using a compound of Formula 1a



wherein

X is N or CR<sup>6</sup>;

Y is N or CH;

R<sup>4</sup> is C<sub>1</sub>-C<sub>4</sub> alkyl or halogen;

R<sup>5</sup> is H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl or halogen;

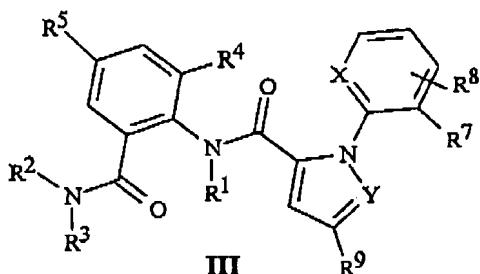
R<sup>6</sup> and R<sup>7</sup> are independently H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, halogen, CN or C<sub>1</sub>-C<sub>4</sub> haloalkoxy;

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R<sup>8</sup> is H, C<sub>1</sub>–C<sub>4</sub> alkyl, C<sub>2</sub>–C<sub>4</sub> alkenyl, C<sub>2</sub>–C<sub>4</sub> alkynyl, C<sub>3</sub>–C<sub>6</sub> cycloalkyl, C<sub>1</sub>–C<sub>4</sub> haloalkyl, C<sub>2</sub>–C<sub>4</sub> haloalkenyl, C<sub>2</sub>–C<sub>4</sub> haloalkynyl, C<sub>3</sub>–C<sub>6</sub> halocycloalkyl, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>–C<sub>4</sub> alkoxy, C<sub>1</sub>–C<sub>4</sub> haloalkoxy, C<sub>1</sub>–C<sub>4</sub> alkylthio, C<sub>1</sub>–C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>–C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>–C<sub>4</sub> alkylamino, C<sub>2</sub>–C<sub>8</sub> dialkylamino, C<sub>3</sub>–C<sub>6</sub> cycloalkylamino, (C<sub>1</sub>–C<sub>4</sub> alkyl)(C<sub>3</sub>–C<sub>6</sub> cycloalkyl)amino, C<sub>2</sub>–C<sub>4</sub> alkylcarbonyl, C<sub>2</sub>–C<sub>6</sub> alkoxy carbonyl, C<sub>2</sub>–C<sub>6</sub> alkylaminocarbonyl, C<sub>3</sub>–C<sub>8</sub> dialkylaminocarbonyl or C<sub>3</sub>–C<sub>6</sub> trialkylsilyl;  
 R<sup>9</sup> is CF<sub>3</sub>, OCF<sub>3</sub>, OCHF<sub>2</sub>, OCH<sub>2</sub>CF<sub>3</sub>, S(O)<sub>p</sub>CF<sub>3</sub>, S(O)<sub>p</sub>CHF<sub>2</sub> or halogen; and  
 p is 0, 1 or 2;

in the preparation of a compound of Formula III



wherein

R<sup>1</sup> is H;  
 R<sup>2</sup> is H or CH<sub>3</sub>; and  
 R<sup>3</sup> is C<sub>1</sub>–C<sub>6</sub> alkyl;

characterized by:

using as said compound of Formula 1a, a compound of Formula 1a prepared by the method of Claim 15.

21. (New) The method of Claim 20 wherein

X is N;  
 Y is N;  
 R<sup>2</sup> is H or CH<sub>3</sub>;  
 R<sup>3</sup> is C<sub>1</sub>–C<sub>4</sub> alkyl;  
 R<sup>4</sup> is CH<sub>3</sub>, F, Cl or Br;  
 R<sup>5</sup> is CF<sub>3</sub>, F, Cl, Br or I;  
 R<sup>7</sup> is Cl or Br;  
 R<sup>8</sup> is H; and  
 R<sup>9</sup> is CF<sub>3</sub>, OCHF<sub>2</sub>, OCH<sub>2</sub>CF<sub>3</sub>, Cl or Br.